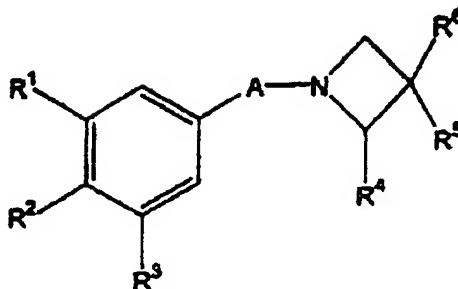


## II. CLAIM AMENDMENTS

1. (Currently Amended) Substituted Azetidine compounds of formula I,



wherein

A represents a ~~-C=O-moiety, a -CH<sub>2</sub>-moiety, a -CH<sub>2</sub>-C=O moiety bonded to the azetidine ring via its carbonyl carbon atom, or a -O-C(=O)-moiety bonded to the azetidine ring via its carbonyl carbon atom,~~

R<sup>1</sup>, R<sup>3</sup>, identical or different, represent a hydrogen atom or a linear or branched, saturated or unsaturated C<sub>1-4</sub>-aliphatic group,

R<sup>2</sup> represents a ~~hydrogen atom,~~ a hydroxyl group or a C<sub>1-3</sub>-alkoxy group,

or R<sup>1</sup> and R<sup>2</sup> or R<sup>2</sup> and R<sup>3</sup> together form an -O-CH<sub>2</sub>-CH<sub>2</sub>-moiety, which is optionally substituted with one or more methyl groups

with the proviso that R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> do not identically represent a hydrogen atom, and ~~if A represents a -CH<sub>2</sub>-~~

~~moiety, then at least two of the residues  $R^1$ ,  $R^2$  and  $R^3$  do not identically represent a hydrogen atom,~~

$R^4$  represents a hydrogen atom, an optionally at least mono-substituted aryl group, or a linear or branched, saturated or unsaturated aliphatic group, which may be substituted by one or more substituents independently selected from the group consisting of hydroxy, fluorine, chlorine, bromine, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy and branched or unbranched  $C_{1-4}$ -perfluoroalkyl,

$R^5$  represents a hydrogen atom, a halogen atom, a hydroxyl group, a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group, ~~an  $OR^7$  moiety, an  $NH_2$  moiety, a  $CO-NH_2$  moiety, an  $NH-CO-R^8$  moiety, an  $N(OH)-CO-NH_2$  moiety, an  $O(CH_2)_{1-4}-ONO_2$  moiety, an optionally at least mono-substituted aryl group, or a carboxy group,~~

$R^6$  represents a hydrogen atom, a halogen atom, a hydroxyl group, a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group, ~~an  $OR^7$  moiety, an  $NH_2$  moiety, a  $CO-NH_2$  moiety, an  $NH-CO-R^8$  moiety, an  $N(OH)-CO-NH_2$  moiety, an optionally at least mono-substituted aryl group, or a carboxy group,~~

~~$R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$ , independent from one another, represent a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group,~~

with the provisos

that if R<sup>2</sup> is alkoxy, at least one of R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> does not represent a hydrogen atom,

~~that if A represents a (C=O)-moiety,~~ R<sup>4</sup> represents a hydrogen atom and one of the residues R<sup>5</sup> and R<sup>6</sup> represents a hydrogen atom, then the other one of these residues R<sup>5</sup> and R<sup>6</sup> does not represent an -NH<sub>2</sub>-moiety, a -CONH<sub>2</sub>-moiety, or a methyl group, which is substituted by an -NH<sub>2</sub>-moiety or an azaheterocycle, and

if A represents a -C=O-moiety, ~~a -CH<sub>2</sub>-C=O moiety bonded to the azetidine ring via its carbonyl carbon atom, or a -O-C(=O)- moiety bonded to the azetidine ring via its carbonyl carbon atom~~ and one of the residues R<sup>5</sup> and R<sup>6</sup> represents a hydrogen atom or an optionally at least mono-substituted, linear or branched, saturated or unsaturated aliphatic group, then the other one of these residues R<sup>5</sup> and R<sup>6</sup> does not represent an -NH<sub>2</sub>- or a COOH-moiety,

optionally in form of one of the stereoisomers, a racemate or in form of a mixture of at least two of the stereoisomers, in any mixing ratio, or a corresponding salt thereof, or a corresponding solvate thereof.

2. (Original) Compounds according to claim 1, characterized in that R<sup>1</sup> and R<sup>3</sup>, identical or different, represent a hydrogen atom or a linear or branched C<sub>1-4</sub>-alkyl group.

3. (Previously Presented) Compounds according to claim 1, characterized in that R<sup>1</sup> and R<sup>3</sup> are identical and represent a C<sub>1-4</sub>- alkyl group.

4. (Currently Amended) Compounds according to claim 1, characterized in that  $R^2$  represents ~~a hydrogen atom,~~ a hydroxyl group or a methoxy group.

5. (Previously Presented) Compounds according to claim 1, characterized in that  $R^4$  represents a hydrogen atom, an optionally at least mono-substituted phenyl group, or a linear or branched, saturated or unsaturated  $C_{1-6}$  aliphatic group, whereby said aliphatic group may be substituted by one or more substituents independently selected from the group consisting of hydroxy, fluorine, chlorine, bromine, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy and branched or unbranched  $C_{1-4}$ -perfluoroalkyl, preferably a hydrogen atom, a methyl group or an unsubstituted phenyl group.

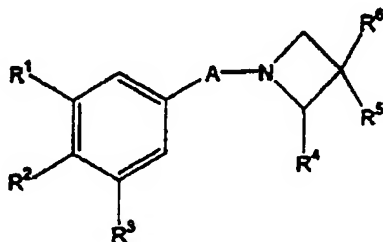
6. (Currently Amended) Compounds according to claim 5, characterized in that  $R^5$  represents a hydrogen atom, a halogen atom, a hydroxyl group, a linear or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$  aliphatic group, ~~an  $NH_2$ -moiety, a  $CO-NH_2$ -moiety, an  $NH-CO-R^8$ -moiety, an  $N(OH)-CO-NH_2$ -moiety, an  $O(CH_2)_4-ONO_2$ -moiety, an optionally at least mono-substituted phenyl group, or a carboxy group, a hydrogen atom, a bromine atom, a hydroxyl group, an  $NH_2$ -moiety, a  $CO-NH_2$ -moiety, an  $NHCO-R^8$ -moiety, an  $N(OH)-CO-NH_2$ -moiety, an  $O(CH_2)_4-ON-O_2$ -moiety, an unsubstituted phenyl group, or a carboxy group.~~

7. (Currently Amended) Compounds according to claim 1, characterized in that  $R^6$  represents a hydrogen atom, a halogen atom, a hydroxyl group, a linear or branched,

saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub> aliphatic group, ~~an -NH<sub>2</sub>-moiety, a -CO-NH<sub>2</sub>-moiety, an -NH-CO-R<sup>8</sup>-moiety, an -N(OH)-CO-NH<sub>2</sub>-moiety, an optionally at least mono-substituted phenyl group, or a carboxy group.~~

8. (Withdrawn) Compounds according to claim 1, characterized in that R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, independent from one another, represent a linear or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub> aliphatic group.

9. (Currently Amended) Compounds according to claim 1 of formula I,



wherein

A represents a ~~-C=O-moiety, a -CH<sub>2</sub>-moiety, a -CH<sub>2</sub>-C=O-moiety bonded to the azetidine ring via its carbonyl carbon atom, or a -O-C(=O)-moiety bonded to the azetidine ring via its carbonyl carbon atom,~~

R<sup>1</sup>, R<sup>3</sup> both identically represent an iso-propyl group or a tert-butyl group,

R<sup>2</sup> represents a ~~hydrogen atom,~~ a hydroxyl group or a methoxy group,

or  $R^1$  and  $R^2$  or  $R^2$  and  $R^3$  together form an  $-O-CH_2-C(CH_3)_2-$  chain, whereby the oxygen atom of said chain is bonded to the 4- position of the phenyl ring,

$R^4$  represents a hydrogen atom, a methyl group or an unsubstituted phenyl group,

$R^5$  represents a bromine atom, or a hydroxyl group, ~~an  $-NH_2-$  moiety, a  $-CO-NH_2-$  moiety, an  $-NH-CO-CF_3-$  moiety, an  $-N(OH)-CO-NH_2-$  moiety, an  $-O(CH_2)_4ONO_2-$  moiety, an unsubstituted phenyl group, or a carboxy group,~~

$R^6$  represent a hydrogen atom, a methyl group or a hydroxyl group,

optionally in form of one of the stereoisomers, a racemate or in form of a mixture of at least two of the stereoisomers, in any mixing ratio, or a corresponding salt thereof, or a corresponding solvate thereof.

10. (Previously Presented) Compounds according to claim 1 selected from the group consisting of

[1] (3,5-di-tert-butyl-4-hydroxy-phenyl)-(3-hydroxy-azetidin-1-yl)-methanone;

[2] (3,5-di-tert-butyl-phenyl)-(3-hydroxy-azetidin-1-yl)-methanone;

[3] (3,5-di-tert-butyl-4-hydroxy-phenyl)-(3-hydroxy-3-methyl-azetidin-1-yl)-methanone;

[4] (3,5-di-tert-butyl-4-hydroxy-phenyl)-(3-hydroxy-2-methyl-azetidin-1-yl)-methanone;

[7] (3-Bromo-azetidin-1-yl)-(3,S-di-tert-butyl-4-hydroxy-phenyl)-methanone;

[9] (3,5-di-tert-butyl-4-methoxy-phenyl)-(3-hydroxy-azetidin-1-yl)-methanone;

[10] (3-hydroxy-azetidin-1-yl)-(4-hydroxy-3,S-diisopropyl-phenyl)-methanone;

[11] (3,5-di-tert-butyl-phenyl)-[3-(4-nitrooxy-butoxy)-azetidin-1-yl]-methanone;

[12] (3,5-di-tert-butyl-4-hydroxy-phenyl)-(3-hydroxy-2-phenyl-azetidin-1-yl)-methanone;

[13] (3,5-di-tert-butyl-4-hydroxy-phenyl)-(3-hydroxy-3-phenyl-azetidin-1-yl)methanone;

[14] (7-tert-butyl-3,3-dimethyl-2,3-dihydro-benzofuran-S-yl)-(3-hydroxy-azetidin-1-yl)-methanone;

[15] [1-(3,5-di-tert-butyl-4-hydroxy-benzyl)-azetidin-3-yl]-N-hydroxy-urea;

[16] N-[1-(3,5-di-tert-butyl-4-hydroxy-benzoyl)-(2S,3R)-2-methyl-azetidin-3-yl]-2,2,2-trifluoro-acetamide;

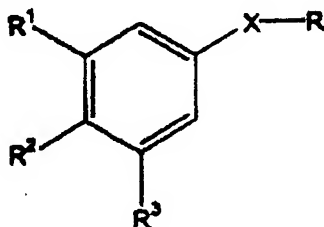
[17] 1-(3,5-di-tert-butyl-4-hydroxy-benzyl)-azetidin-3-ol;

[18] 2-(3,5-di-tert-butyl-4-hydroxy-phenyl)-1-(3-hydroxy-azetidin-1-yl)-ethanone;

[19] (3-hydroxy-azetidine-1-carboxylicacid)-3,5-di-tert-butyl-phenyl ester

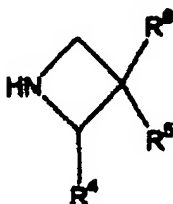
optionally in form of a corresponding salt or a corresponding solvate.

11. (Currently Amended) Process for the preparation of substituted azetidine compounds of ~~general~~ formula I according to of claim 1, characterized in that at least one compound of ~~general~~ formula II,



II

wherein R<sup>1</sup>-R<sup>3</sup> have the meaning according to claim 1, X represents a bond or an  $-(CH_2)-$  moiety and R represents a carboxy group or an activated carbonyl group, is reacted with at least one compound of ~~general~~ formula III,



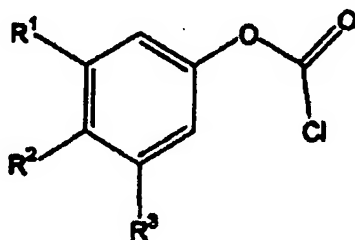
III

optionally in the form of a corresponding salt, wherein R<sup>4</sup>-R<sup>6</sup> have the meaning according to claim 1, to yield a compound of ~~general~~ formula I according to claim 1, wherein A represents a  $-(C=O)-$  moiety ~~or an  $-(CH_2)-CO-$  moiety~~, which is optionally purified and/or optionally isolated,

and optionally at least one compound of ~~general~~ formula I according to claim 1, wherein A represents a  $-(C=O)-$  moiety is reduced to yield at least one compound of ~~general~~



formula I according to claim 1, wherein ~~A represents a~~  
~~(CH<sub>2</sub>) moiety, which is optionally purified and/or isolated,~~  
~~or at least one compound of general formula IV,~~



IV

wherein ~~R<sup>1</sup>-R<sup>3</sup> have the meaning according to claim 10, is~~  
~~reacted with at least one compound of general formula III~~  
~~given above, to yield at least one compound of general~~  
~~formula I according to claim 1, wherein A represents an O-~~  
~~(C=O) moiety, and said compound is optionally purified~~  
~~and/or optionally isolated.~~

12. (Currently Amended) Medicament comprising at least one substituted azetidine compound according to ~~of~~ claim 1 and optionally one or more pharmaceutically acceptable excipients.

13. (Currently Amended) A method for the prophylaxis and/or treatment of cyclooxygenase-1 or cyclooxygenase-2 related disorders comprising administering to a patient in need thereof a cyclooxygenase-1 or cyclooxygenase-2 inhibiting amount of the medicament according to claim 12 ~~for the inhibition of Cyclooxygenase-1, for the prophylaxis and/or treatment of Cyclooxygenase-1 related disorders, for the inhibition of Cyclooxygenase-2 and/or for the prophylaxis and/or treatment of Cyclooxygenase-2 related disorders.~~

14. Cancelled

15. (Currently Amended) A method for the prophylaxis and/or treatment of pain comprising administering to a patient in need thereof a pain inhibiting amount of the medicament according to claim 12 ~~for the prophylaxis and/or treatment of pain.~~

16. (Currently Amended) A method for the prophylaxis and/or treatment of inflammation comprising administering to a patient in need thereof a inflammation inhibiting amount of the medicament according to claim 12 ~~for the prophylaxis and/or treatment of inflammation.~~

17. (Currently Amended) A method for the prophylaxis and/or treatment of inflammation according to claim 16 where the inflammation is the result of a disorder ~~Medicament according to claim 12 for the prophylaxis and/or treatment of inflammation related disorders, whereby said inflammation related disorders may preferably be selected from the group consisting of arthritis, rheumatoid arthritis, spondyloarthropathies, gouty arthritis, osteoarthritis, systemic lupus erythematosus, juvenile arthritis, rheumatic fever, symptoms associated with influenza or other viral infections, common cold, lower back pain, neck pain, dysmenorrhea, headache, toothache, sprains, strains, myositis, neuralgia, synovitis, gout, ankylosing spondylitis, bursitis, edema, inflammations following dental procedures, inflammations following dental procedures, vascular diseases, migraine headaches, periarteritis nodosa, thyroiditis, aplastic anemia, Hodkin's disease, sclerodoma, type I diabetes, myasthenia~~

gravis, sarcoidosis, nephrotic syndrome, Behcet's syndrome, polymyositis, gingivitis, hypersensitivity, conjunctivitis, swelling occurring after injury and myocardia ischemia.

18-22. Cancelled

23. (Previously Presented) Compounds according to claim 1 where the stereoisomers are enantiomers or diastereomers.

24. (Previously Presented) Compounds of claim 3 where the C<sub>1-4</sub>- alkyl group, is a C<sub>3-4</sub> alkyl group.

25. (Previously Presented) Compounds of claim 3 where a C<sub>1-4</sub>- alkyl group, is an iso-propyl group or a tert.-Butyl group.

26. (Previously Presented) Compounds of claim 1 where R<sup>6</sup> represents a hydrogen atom, a hydroxyl group or a methyl group.

27. (Withdrawn) Compounds according to claim 1, characterized in that R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, independent from one another, represent a -linear or branched C<sub>1-6</sub> alkyl group.

28. (Previously Presented) Compounds according to claim 1, characterized in that R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, independent from one another, represent a methyl group or an ethyl group.

29. (New) A method for the prophylaxis and/or treatment of angiogenesis mediated disorders, comprising administering to a patient in need thereof an effective amount of the medicament according to claim 12 where the angiogenesis mediated disorder is selected from the group consisting of metastasis, corneal graft rejection, ocular neovascularization, retinal neovascularisation, diabethic

retinopathy, retrolental fibroplasia, neovascular glaucoma, gastric ulcer, infantile hemangiomas, angiofibroma of the nasopharynx, avascular necrosis of the bone and endometriosis.

30. (New) A method for the prophylaxis and/or treatment of cancer or a cancer-related disorders, comprising administering to a patient in need thereof an effective amount of the medicament according to claim 12 where the cancer or related disorder is selected from the group consisting of brain cancer, bone cancer, epithelial cell-derived neoplasia (epithelial carcinoma), basal cell carcinoma, adenocarcinoma, gastrointestinal cancer, lip cancer, colon cancer, liver cancer, bladder cancer, pancreas cancer, ovary cancer, cervical cancer, lung cancer, breast cancer, skin cancer, squamous cell cancer, prostate cancer, renal cell carcinoma and other known cancers that effect epithelial cells throughout the body.

31. (new) A method for the prophylaxis and/or treatment of gastrointestinal disorders, comprising administering to a patient in need thereof an effective amount of the medicament according to claim 12 where the gastrointestinal disorders are selected from the group consisting of inflammatory bowel disease, Crohn's disease, gastritis, irritable bowel syndrome and ulcerative colitis.

32. (New) A method for the prophylaxis and/or treatment of skin related conditions, comprising administering to a patient in need thereof an effective amount of the medicament according to claim 12 where skin related

condition is selected from the group consisting of psoriasis, eczema, burns and dermatitis.

33. (New) A method for the prophylaxis and/or treatment of bronchitis, for the prophylaxis and/or treatment of tendinitis, for the prophylaxis and/or treatment of bursitis of skin related conditions, comprising administering to a patient in need thereof an effective amount of the medicament according to claim 12.

34. (New) A method for the prophylaxis and/or treatment of fever comprising administering to a patient in need thereof a fever reducing amount of the medicament according to claim 12.

35. (New) A method for the prophylaxis and/or treatment of polyps comprising administering to a patient in need thereof a polyp reducing amount of the medicament according to claim 12.

36. (New) A medicament comprising at least one substituted azetidine compound according to claim 2 and one or more pharmaceutically acceptable excipients.

37. (New) A medicament comprising at least one substituted azetidine compound according to claim 3 and one or more pharmaceutically acceptable excipients.

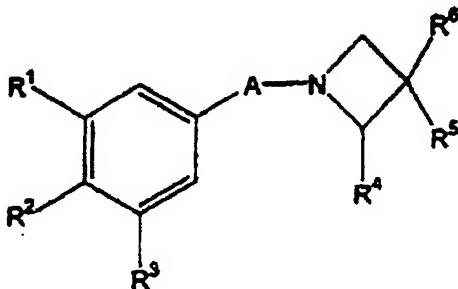
38. (New) A medicament comprising at least one substituted azetidine compound according to claim 4 and one or more pharmaceutically acceptable excipients.

39. (New) A medicament comprising at least one substituted azetidine compound according to claim 5 and one or more pharmaceutically acceptable excipients.

40. (New) A medicament comprising at least one substituted azetidine compound according to claim 6 and one or more pharmaceutically acceptable excipients.

41. (New) A medicament comprising at least one substituted azetidine compound according to claim 7 and one or more pharmaceutically acceptable excipients.

42. (New) A medicament comprising one or more pharmacologically acceptable excipients and at least one substituted azetidine compound of formula I,



wherein

A represents a -C=O-moiety,

R<sup>1</sup>, R<sup>3</sup>, identical or different, represent a hydrogen atom or a linear or branched, saturated or unsaturated C<sub>1-4</sub>-aliphatic group,

R<sup>2</sup> represents a hydroxyl group or a C<sub>1-3</sub>-alkoxy group,

or R<sup>1</sup> and R<sup>2</sup> or R<sup>2</sup> and R<sup>3</sup> together form an -O-CH<sub>2</sub>-CH<sub>2</sub>-moiety, which is optionally substituted with one or more methyl groups

with the proviso that R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> do not identically represent a hydrogen atom,

R<sup>4</sup> represents a hydrogen atom, an optionally at least mono-substituted aryl group, or a linear or branched, saturated or unsaturated aliphatic group, which may be substituted by one or more substituents independently selected from the group consisting of hydroxy, fluorine, chlorine, bromine, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy and branched or unbranched C<sub>1-4</sub>-perfluoroalkyl,

R<sup>5</sup> represents a hydrogen atom, a halogen atom, a hydroxyl group, a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group,

R<sup>6</sup> represents a hydrogen atom, a halogen atom, a hydroxyl group, a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group

with the provisos

that if R<sup>4</sup> represents a hydrogen atom and one of the residues R<sup>5</sup> and R<sup>6</sup> represents a hydrogen atom, then the other one of these residues R<sup>5</sup> and R<sup>6</sup> does not represent an -NH<sub>2</sub>-moiety, a -CONH<sub>2</sub>-moiety, or a methyl group, which is substituted by an -NH<sub>2</sub>-moiety or an azaheterocycle, and

if A represents a -C=O-moiety, and one of the residues R<sup>5</sup> and R<sup>6</sup> represents a hydrogen atom or an optionally at least

mono-substituted, linear or branched, saturated or unsaturated aliphatic group, then the other one of these residues  $R^5$  and  $R^6$  does not represent an  $-NH_2-$  or a  $COOH-$  moiety,

optionally in form of one of the stereoisomers, a racemate or in form of a mixture of at least two of the stereoisomers, in any mixing ratio, or a corresponding salt thereof, or a corresponding solvate thereof.